

CLAIMS:

1. A method for the treatment of a fungal infection in humans or warm-blooded animals in need of such treatment, comprising administering to such human or warm-blooded animal, a therapeutically effective amount of a water-soluble composition, comprising a solubilizing agent selected from the group consisting of polyoxyethanyl-sitosterol sebacate, polyoxyethanyl-cholesteryl sebacate and polyoxyethanyl- $\alpha$ -tocopheryl sebacate, and a macrolide polyene antibiotic, formulated in a weight ratio of solubilizing agent to antibiotic of 2:1 to 4:1, in conjunction with a pharmaceutically effective carrier or excipient.
2. A method according to Claim 1, wherein the antibiotic is selected from the group consisting of amphotericin B and nystatin.
3. A method according to Claim 1, wherein the antibiotic is amphotericin B, and wherein the weight ratio of solubilizing agent to antibiotic is 3:1 to 4:1 w/w.
4. A method according to Claim 1, wherein the antibiotic is nystatin, and wherein the weight ratio of solubilizing agent to antibiotic is 2:1 to 4:1 w/w.
5. A water-soluble composition, comprising a solubilizing agent selected from the group consisting of polyoxyethanyl-sitosterol sebacate, polyoxyethanyl-cholesteryl sebacate and polyoxyethanyl- $\alpha$ -tocopheryl sebacate, and a macrolide polyene antibiotic, formulated in a weight ratio of solubilizing agent to antibiotic of 2:1 to 4:1.
6. A composition according to Claim 5, wherein the antibiotic is selected from the group consisting of amphotericin B and nystatin.

7. A composition according to Claim 5, wherein the antibiotic is amphotericin B, and wherein the weight ratio of solubilizing agent to antibiotic is 3:1 to 4:1 w/w.
- 5 8. A composition according to Claim 5, wherein the antibiotic is nystatin, and wherein the weight ratio of solubilizing agent to antibiotic is 2:1 to 4:1 w/w.
9. A composition according to Claim 7, wherein the solubilizing agent is polyoxyethanyl-sitosterol sebacate.
- 10 10. A composition according to Claim 7, wherein the solubilizing agent is polyoxyethanyl-cholesteryl sebacate.
- 15 11. A composition according to Claim 7, wherein the solubilizing agent is polyoxyethanyl- $\alpha$ -tocopheryl sebacate.
12. A composition according to Claim 8, wherein the solubilizing agent is polyoxyethanyl-sitosterol sebacate.
- 20 13. A composition according to Claim 8, wherein the solubilizing agent is polyoxyethanyl-cholesteryl sebacate.
14. A composition according to Claim 8, wherein the solubilizing agent is polyoxyethanyl- $\alpha$ -tocopheryl sebacate.
- 25 15. A method for preparing a water soluble composition according to Claim 5, which method comprises the steps of,

- (e) dissolving the antibiotic and the solubilizing agent in a water-miscible organic solvent, in a weight ratio of solubilizing agent to antibiotic of 2:1 to 4:1,
- (f) removing from the solution the organic solvent to achieve a desired concentration of the water soluble composition,
- (g) dissolving the composition in water, and
- (h) drying.
16. A method according to Claim 15, wherein the antibiotic is amphotericin B, and wherein the weight ratio of solubilizing agent to antibiotic is 3:1 to 4:1w/w.
17. A method according to Claim 15, wherein the antibiotic is nystatin, and wherein the weight ratio of solubilizing agent to antibiotic is 2:1 to 4:1 w/w.
18. A method according to Claim 15, wherein the solvent is methanol/acetic acid 3:1 v/v.
19. A method for delivery of  $\alpha$ -tocopherol to humans or warm-blooded animals in need thereof, comprising administering to such human or warm-blooded animal, an effective amount of a water-soluble form of vitamin E.
20. A method according to Claim 19, wherein the water-soluble form of vitamin E is polyoxyethanyl- $\alpha$ -tocopheryl sebacate.
21. A water-soluble composition, comprising a solubilizing agent selected from the group consisting of polyoxyethanyl-sitosterol sebacate, polyoxyethanyl-cholesteryl sebacate and polyoxyethanyl- $\alpha$ -tocopheryl

sebacate, and a compound having a high content of polyunsaturated fatty acids.

22. A composition according to Claim 21, wherein the compound is an oil, and wherein the solubilizing agent and oil are formulated in a weight ratio of solubilizing agent to oil of 2:1 to 3:1.

23. A composition according to Claim 22 wherein the oil is selected from the group consists of flaxseed oil and fish oil.

24. A water-soluble composition, comprising a solubilizing agent selected from the group consisting of polyoxyethanyl-sitosterol sebacate, polyoxyethanyl-cholesteryl sebacate and polyoxyethanyl- $\alpha$ -tocopheryl sebacate, and a bioactive lipophilic compound selected from the group consisting of a terpene and a terpenoid.

25. A composition according to Claim 24, wherein the solubilizing agent and bioactive compound are formulated in a weight ratio of solubilizing agent to bioactive compound of 3:1 to 4:1.

26. A composition according to Claim 25, wherein the bioactive lipophilic compound is selected from the group consisting of squalene, geranoil, farnesol,  $\beta$ -carotene, astaxanthin, canthaxanthin, zeaxanthin, cryptoxanthin, lutein and lycopene.

27. A composition according to Claim 25, comprising polyoxyethanyl- $\alpha$ -tocopheryl sebacate and squalene, in a weight ratio of polyoxyethanyl- $\alpha$ -tocopheryl sebacate to squalene of 3:1.

28. A composition according to Claim 25, comprising polyoxyethanyl- $\alpha$ -tocopheryl sebacate and astaxanthin, in a weight ratio of polyoxyethanyl- $\alpha$ -tocopheryl sebacate to astaxanthin of 4:1.
- 5 29. A method for preparing a water-soluble composition according to Claim 28, comprising:
- 10       a) dissolving solubilizing agent and astaxanthin in a water-miscible organic solvent, in a weight ratio of solubilizing agent to astaxanthin of 4:1 to form a mixture;
- b) diluting the mixture with water to form an aqueous solution;
- c) concentrating the aqueous solution to remove the organic solvent and excess of water;
- 15 30. A method according to Claim 29, wherein step c) is effected by evaporation under reduced pressure.
31. A water-soluble composition, comprising polyoxyethanyl- $\alpha$ -tocopheryl sebacate and  $\alpha$ -tocopheryl acetate, formulated in a ratio of 2:1 to 5.5:1 w/w.
- 20 32. A composition according to Claim 31, comprising PCS-400 and  $\alpha$ -tocopheryl acetate, formulated in a ratio of PCS-400 to  $\alpha$ -tocopheryl acetate of 2:1 to 4.5:1 w/w.
- 25 33. A composition according to Claim 31, comprising PCS-600 and  $\alpha$ -tocopheryl acetate, formulated in a ratio of PCS-600 to  $\alpha$ -tocopheryl acetate of 5.5:1 w/w.

34. A water-soluble composition, comprising a solubilizing agent selected from the group consisting of polyoxyethanyl- $\alpha$ -tocopheryl sebacate and polyoxyethanyl tocotrienyl sebacate, and a tocotrienol, formulated in a ratio of solubilizing agent to tocotrienol of about 5.5:1 w/w.

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34. A composition according to Claim 34, wherein the solubilizing agent is PTS-600.

35. A composition according to Claim 34, wherein the solubilizing agent is PtrieS-600.

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36. A water-soluble composition, comprising a solubilizing agent selected from the group consisting of polyoxyethanyl- $\alpha$ -tocopheryl sebacate and polyoxyethanyl tocotrienyl sebacate, and coenzyme Q<sub>10</sub>, formulated in a ratio of solubilizing agent to coenzyme Q<sub>10</sub> of 2.5:1 to 3.5:1 w/w.

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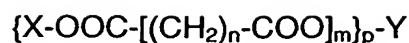
37. A composition according to Claim 36, wherein the solubilizing agent is PTS-400, and wherein the ratio of PCS-400 to coenzyme Q<sub>10</sub> is 2.5:1 w/w.

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38. A composition according to Claim 36, wherein the solubilizing agent is PtrieS-600, and wherein the ratio of PtrieS-600 to coenzyme Q<sub>10</sub> is 3.5:1 w/w .  
2.5:1 w/w.

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39. A water-soluble composition comprising a bioactive lipophilic compound and a solubilizing agent of the general formula



wherein: p is 1 or 2,

m is 0 or 1, and

5 n is an integer in the range  $0 \leq n \leq 18$

X is a residue of a hydrophobic moiety is selected from the group consisting of cholesterol, 7-dehydrocholesterol, campesterol, sitosterol, ergosterol, stigmasterol, and  $\alpha$ -,  $\beta$ -,  $\gamma$ , and  $\Delta$ -  
 10 tocopherols and derivatives thereof Y is a residue of a hydrophilic moiety, selected from the group consisting of polyalcohols, polyethers, polyanions, polycations, polyphosphoric acids, polyamines, polysaccharides, polyhydroxy compounds, polylysines, and derivatives thereof provided that:

15 when p and m are equal to 1 and the hydrophobic moiety is (+)- $\alpha$ -tocopherol, n is not equal to 2.

40. (amended) A composition according to claim [39] 43, wherein the bioactive lipophilic compound is selected from the group consisting of  
 20 ubiquinones, ubiquinols, vitamins, provitamins, polyene macrolide antibiotics, and mixtures thereof, provided that:

when the bioactive lipophilic compound is ubiquinone and the hydrophobic moiety is cholesterol, n is not equal to 8.

25 41. A composition according to Claim 39, where the hydrophobic moiety is PEG-400.

42. A method for making polyoxyethanyl tocotrienyl sebacate, comprising

- a) dissolving a tocotrienol and triethyl amine in a water-miscible organic solvent,
- 5 b) reacting the solution with sebacoyl chloride, and
- c) reacting with a polyethylene glycol.

43. A water-soluble composition comprising a bioactive lipophilic compound and a solubilizing agent of the general formula



wherein: p is 1 or 2,

m is 0 or 1, and

n is an integer in the range  $0 \leq n \leq 18$

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X is a residue of a hydrophobic moiety is selected from the group consisting of cholesterol, 7-dehydrocholesterol, campesterol, sitosterol, ergosterol, stigmasterol, and  $\alpha$ -, $\beta$ -,  $\gamma$ -, and  $\Delta$ -tocopherols and derivatives thereof Y is a residue of a hydrophilic is moiety, selected from the group consisting of polyalcohols, polyethers, polyanions, polycations, polyphosphoric acids, polyamines, polysaccharides, polyhydroxy compounds, polylysines, and derivatives thereof provided that:

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when p and m are equal to 1 and the hydrophobic moiety is (+)- $\alpha$ -tocopherol, n is not equal to 2, and when the hydrophobic moiety is campesterol, sitosterol or stigmasterol, n is greater than 6.

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